$$A \xrightarrow{X} B \qquad (1) \qquad R^{2}SO_{2}CI \qquad A \xrightarrow{X} B \qquad R^{5}$$

$$\downarrow \\ \downarrow \\ R^{3A} \qquad H \qquad \qquad \downarrow \\ R^{3A} \qquad H \qquad \qquad \downarrow \\ R^{3A} \qquad \qquad$$

Figure 1

$$(2) \qquad (3) \qquad HO-Y-NH_2 + R^2-SO_2CI \longrightarrow HO-Y-NH_2 + R^2-SO_2CI \longrightarrow HO-Y-NH_2 \times R^2$$

$$(4) \qquad (4) \qquad KR^1 \times R^2 \times R^2 \times R^2$$

$$(5) \qquad KR^1 \times R^2 \times R^2 \times R^2$$

Figure 2

$$(10A)$$

$$R^{3}A$$

$$R^$$

Figure 3

Figure 4

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Figure 5

$$A = \begin{pmatrix} (17) & X & (R^1)_x \\ B & (18) & (19) \\ R^{3A} & (21) & R^2 \\ R^{3A} & R^{6} Br \end{pmatrix}$$

$$(When R^6 in the target compound is not H)$$

$$(When R^6 in the target compound is not H)$$

$$(21A)$$

$$(21A)$$

$$(21A)$$

$$(21A)$$

$$(21A)$$

Figure 6

(1)
$$(R^1)_x$$
 $(R^1)_x$ $(R^1)_x$

Figure 7

Figure 8

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Figure 9

R²-SH (32)

R²-SH (32)

R²-S O-Me

(33)

$$R^{1}$$
 R^{2}
 R^{2}
 R^{2}
 R^{2}
 R^{2}
 R^{2}
 R^{3}
 R^{2}
 R^{3}
 R^{3}
 R^{3}
 R^{3}
 R^{3}
 R^{3}
 R^{3}
 R^{2}
 R^{3}
 R^{3}
 R^{3}
 R^{2}
 R^{2}

Figure 10

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Figure 11

Figure 12

Figure 13

50) C1 acylation with
$$H_2N-YL$$
 where L is a leaving group R^8 where $CH_2R^8 = R^5$ (51)

$$X = R^8$$
where $CH_2R^8 = R^5$ (51)

$$X = R^8$$

$$R^8$$
reduction
$$X = R^8$$

$$R^8$$

$$R^8$$

$$R^8$$

$$R^{1}$$

$$R^{1}$$

$$R^{1}$$

$$R^{2}$$

$$R^{2}$$

$$R^{2}$$

$$R^{2}$$

$$R^{3}$$

$$R^{4}$$

$$R^{1}$$

$$R^{2}$$

$$R^{2}$$

$$R^{2}$$

$$R^{3}$$

$$R^{4}$$

$$R^{1}$$

$$R^{2}$$

$$R^{3}$$

$$R^{4}$$

$$R^{1}$$

$$R^{2}$$

$$R^{3}$$

$$R^{4}$$

$$R^{1}$$

$$R^{4}$$

$$R^{$$

Figure 14

Figure 15

Q—NCS amination with H-NR²R⁷ HN Q (60)
(59)
$$CH_3I$$

CH₃I

Q
A
 X
 $(R^1)_x$
 B
 Q
amination
 CH_3S
 NR^2R^7

(62) NR^2R^7

Figure 16

11/11 -

Figure 17